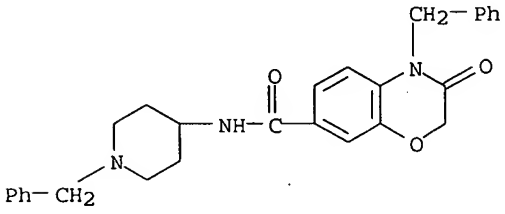
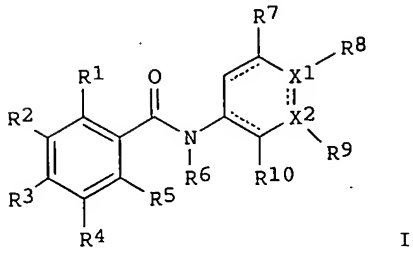
PA Japan Tobacco, Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 106 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10259176	A2	19980929	JP 1997-84463	19970317
			JP 1997-84463	19970317

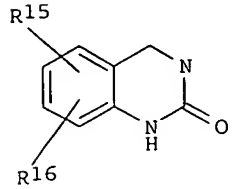
OS MARPAT 129:310895
IT **214846-51-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Benzamide compds. and their use as neovascularization inhibitors)
RN 214846-51-2 CAPLUS
CN 2H-1,4-Benzoxazine-7-carboxamide, 3,4-dihydro-3-oxo-4-(phenylmethyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



GI



I



II

Patel <10/13/2003>

AB The inhibitors contain benzamides I [R1 = H, NO2, halo, cyano, lower alkoxy, NR11R12 (R11, R12 = H, acyl); R2 = H, NO2, halo, OR13 (R13 = lower alkyl, aralkyl, cycloalkyl); R3 = X3(CH2)mR14 [R14 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, (un)substituted lower alkyl, cycloalkyl, acyl, alkenyl, H; X3 = O, NHCO, OSO2, NR17 (R17 = H, lower alkyl); m = 0-5], II (R15, R16 = H, lower alkoxy, amino, lower alkyl, CO2H, OH); R2 and R3 may be bonded to form a condensed 1,3-oxazole ring; R4 = H, OR19 (R19 = lower alkyl, aralkyl, cycloalkyl); R3 and R4 may be bonded to form a condensed 1,3-oxazole, 1,4-oxazine, or pyrimidine ring; R5 = H, NO2, alkenyl; NHR28 (R28 = H, acyl, lower alkoxycarbonyl); R6 = H, (un)substituted lower alkyl; R5 and R6 may be bonded to form a condensed pyrimidine, diazepine, or pyridine ring; R7 = H, lower alkoxy; R8 = X4(CH2)tR30 [X4 = O, CH2, CO, CONH, OSO2, SO2NH, NR31 (R31 = H, lower alkyl, aralkyl), direct bond], t = 0-5; R30 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, H, OH, halo, lower alkyl, lower alkoxy, cycloalkyl, acyl, cyano, CO2R32 (R32 = H, lower alkyl); R9 = H, lower alkoxycarbonyl, halo, OR33 (R33 = H, lower alkyl, aralkyl), CONHR34 (R34 = H, lower alkyl, aralkyl); R7 and R8, R8 and R9 may be bonded to form a 1,3-oxazole ring; X1, X2 =X, N; dotted line represents an optional double bond]. I are useful for treatment of rheumatoid arthritis, diabetic retinopathy, neoplasms, etc. IC50 of 4-benzyloxy-N-(4-benzyloxyphenyl)-3-methoxybenzamide (prepn. given) against bFGF- or VEGF-induced proliferation of HUVEC was 0.85 .mu.M.